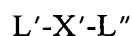


In the Claims:

Please cancel Claims 47, 48, 52 and 56 without prejudice or disclaimer.

Please amend Claim 41 as follows:

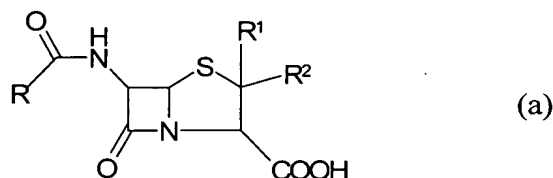
41. (Amended) A compound of the formula:



or a pharmaceutically acceptable salt thereof; wherein

L' is a moiety selected from the group consisting of:

(i) a moiety of formula (a):

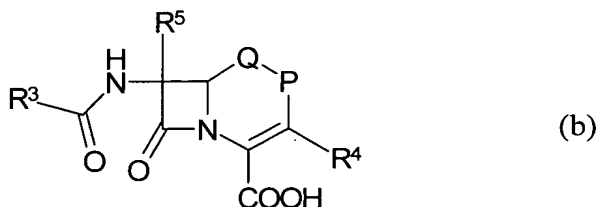


wherein:

R is selected from the group consisting of substituted alkyl, aryl, aralkyl, and heteroaryl wherein each of said substituents optionally links (a) to the linker via a covalent bond or R is a covalent bond that links (a) to the linker; and

R<sup>1</sup> and R<sup>2</sup> are, independently of each other, alkyl or at least one of R<sup>1</sup> or R<sup>2</sup> is a covalent bond linking (a) to the linker provided that only one of R, R<sup>1</sup> or R<sup>2</sup> links said moiety to said linker;

(ii) a moiety of formula (b):



wherein:

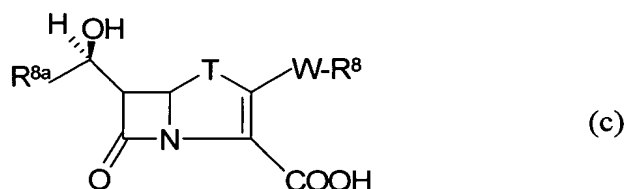
one of P and Q is O, S, or  $-\text{CH}_2-$  and the other is  $-\text{CH}_2-$ ;

*B3*  
*Ames*  
 $\text{R}^3$  is selected from the group consisting of substituted alkyl, heteroarylalkyl, aralkyl, heterocyclalkyl, and  $-\text{C}(\text{R}^6)=\text{NOR}^7$ , wherein  $\text{R}^6$  is aryl, heteroaryl, or substituted alkyl and  $\text{R}^7$  is alkyl or substituted alkyl and further wherein each of said substituents optionally links (b) to the linker via a covalent bond or  $\text{R}^3$  is a covalent bond that links (b) to the linker; and

$\text{R}^4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, substituted alkenyl, substituted alkyl, halo, heteroarylalkyl, heterocyclalkyl,  $-\text{SR}^a$  and  $-\text{CH}_2\text{SR}^a$ , where  $\text{R}^a$  is aryl, heteroaryl, heterocycl or cycloalkyl wherein each of said substituents optionally links (b) to the linker or  $\text{R}^4$  is a covalent bond that links (b) to the linker provided that only one of said  $\text{R}^3$  substituents or covalent bond and  $\text{R}^4$  substituents or covalent bond links said moiety to said linker; and

$\text{R}^5$  is selected from the group consisting of hydrogen, hydroxy, and alkoxy;

(iii) a moiety of formula (c):



wherein:

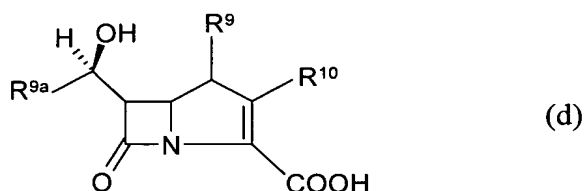
T is S or  $\text{CH}_2$ ,

$\text{R}^{8a}$  is alkyl;

W is selected from the group consisting of O, S,  $-\text{OCH}_2-$ , and  $\text{CH}_2$ ; and

$\text{R}^8$  is  $-(\text{alkylene})-\text{NHC}(\text{R}^b)=\text{NH}$  where  $\text{R}^b$  is a covalent bond that links (c) to the linker; or  $-\text{W}-\text{R}^8$  is a covalent bond that links (c) to the linker provided that only one of  $\text{R}^b$  or  $-\text{W}-\text{R}^8$  binds said moiety to said linker;

(iv) a moiety of formula (d):



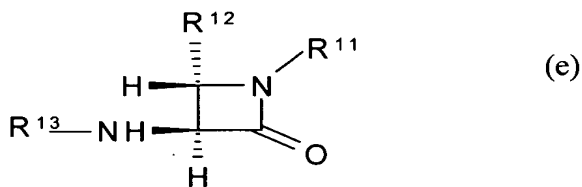
wherein:

$R^9$  and  $R^{9a}$  are alkyl;

$R^{10}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, halo, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclalkyl and  $-\text{CH}_2\text{SR}^a$ , where  $R^a$  is aryl, heteroaryl, heterocyclyl or cycloalkyl wherein each of said substituents optionally links (d) to the linker or at least one of  $R^9$  and  $R^{10}$  is a covalent bond that links (d) to the linker; or

$R^9$  and  $R^{10}$ , together with the carbon atoms to which they are attached, form an aryl, heteroaryl, cycloalkyl, substituted cycloalkyl, or heterocyclyl ring of from 4 to 7 ring atoms wherein one of the ring atoms optionally links (d) to the linker provided that only one of said substituents, ring atoms,  $R^9$  or  $R^{10}$  links said moiety to said linker; and

(v) a moiety of formula (e):



wherein:

$R^{11}$  is selected from the group consisting of  $-\text{SO}_3\text{H}$  or  $-(\text{alkylene})-\text{COOH}$ ;

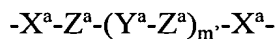
$R^{12}$  is selected from the group consisting of alkyl, substituted alkyl, haloalkyl, alkoxy, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, substituted cycloalkyl, and heterocyclyl

wherein each of said substituents optionally binds (e) to the linker or R<sup>12</sup> is a covalent bond that links (e) to the linker;

R<sup>13</sup> is selected from the group consisting of alkyl, acyl, or -COC(R<sup>14</sup>)=N-OR<sup>15</sup> wherein R<sup>14</sup> is aryl or heteroaryl which optionally links (e) to the linker, and R<sup>15</sup> is -(alkylene)-COOR<sup>16</sup> wherein R<sup>16</sup> is hydrogen or a covalent bond that optionally links (e) to the linker or R<sup>13</sup> is a covalent bond that links (e) to the linker provided that only one of R<sup>12</sup>, R<sup>13</sup>, R<sup>14</sup> or R<sup>15</sup> links said moiety to said linker;

L'' is an optionally substituted vancomycin moiety or an aglycon derivative of an optionally substituted vancomycin moiety, wherein L'' is attached to the linker at a position selected from the group consisting of the carboxy terminus, the amino terminus, the dihydroxyphenyl ring, the saccharide amino group and the aglycone hydroxy terminus; and

X' is a linker of the formula:



wherein

m' is an integer of from 0 to 20;

X<sup>a</sup> at each separate occurrence is selected from the group consisting of -O-, -S-, -NR'-, -C(O)-, -C(O)O-, -OC(O)-, -C(O)NR'-, -NR'C(O)-, C(S), -C(S)O-, -C(S)NR'-, -NR'C(S)-, and a covalent bond;

Z<sup>a</sup> at each separate occurrence is selected from the group consisting of alkylene, substituted alkylene, cycloalkylene, substituted cycloalkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, and a covalent bond;

each Y<sup>a</sup> at each separate occurrence is selected from the group consisting of -O-, -C(O)-, -OC(O)-, -C(O)O-, -NR'-, -S(O)<sub>n</sub>-, -C(O)NR'-, -NR'C(O)-, -NR'C(O)NR'-, -NR'C(S)NR'-, -C(=NR')-NR'-, -NR'-C(=NR')-, -OC(O)-NR'-, -NR'-C(O)-O-, -P(O)(OR')-O-, -O-P(O)(OR')-, -S(O)<sub>n</sub>CR'R''-, -S(O)<sub>n</sub>-NR'-, -NR'-S(O)<sub>n</sub>-, -S-S-, and a

covalent bond; where  $n$  is 0, 1 or 2; and

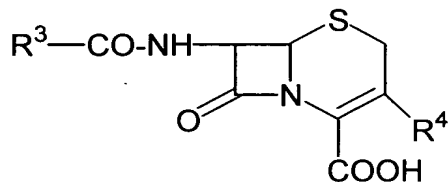
*B3*  
*Cont'd*  
R' and R'' at each separate occurrence are selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, alkenyl, substituted alkenyl, cycloalkenyl, substituted cycloalkenyl, alkynyl, substituted alkynyl, aryl, heteroaryl and heterocyclic;

provided that when L'' is a vancomycin moiety attached via its carboxyl group to the linker, then L' is not a cefalexin moiety attached to the linker via acylation of its  $\alpha$ -amino group.

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Please amend Claim 43 as follows:

43. (Amended) The compound of Claim 41, wherein L' is a moiety of the formula:



where:

R<sup>3</sup> and R<sup>4</sup> are selected from the group consisting of:

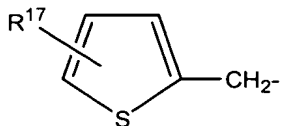
R<sup>3</sup>

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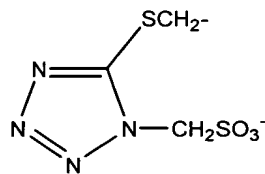
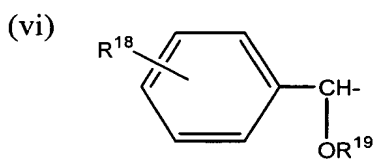
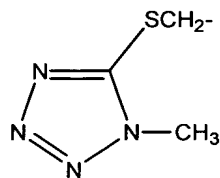
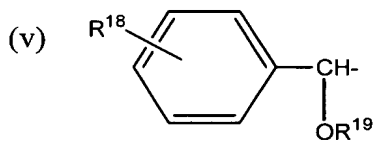
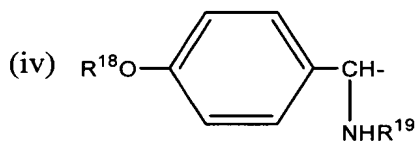
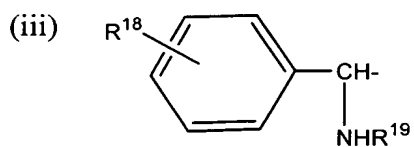
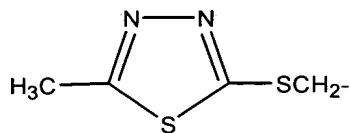
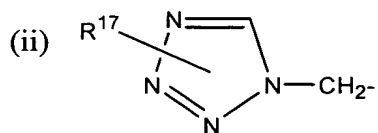
R<sup>4</sup>

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(i)

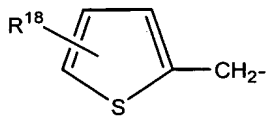


-CH<sub>2</sub>OCOCH<sub>3</sub>

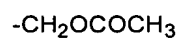
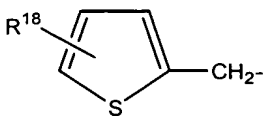


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 [Signature]*

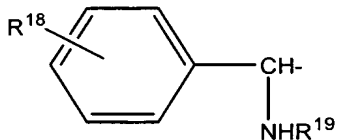
(vii)



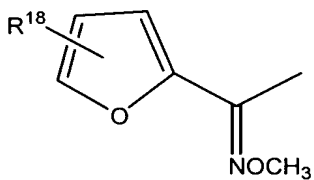
(viii)



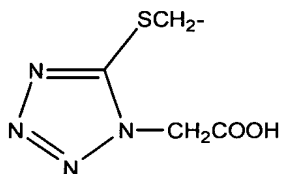
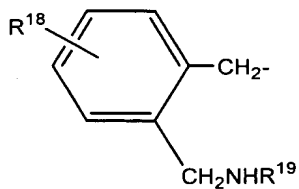
(ix)



(x)

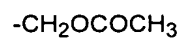
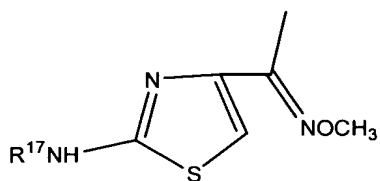


(xi)

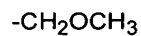
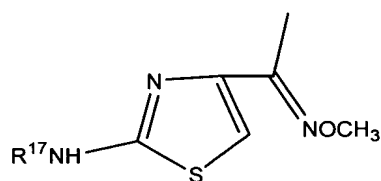


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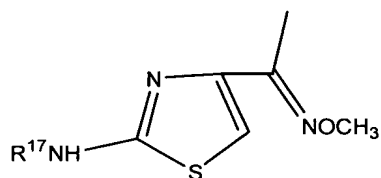
(xii)



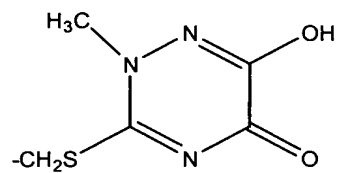
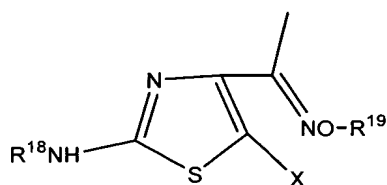
(xiii)



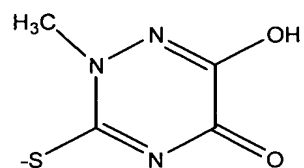
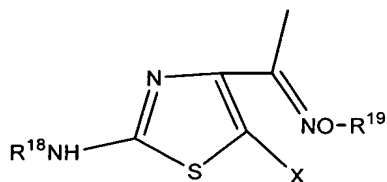
(xiv)



(xv)

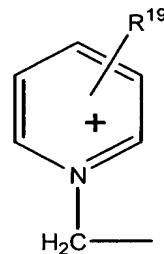
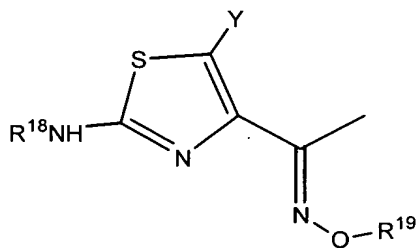


(xvi)

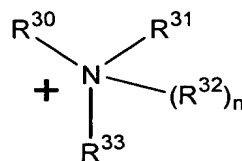
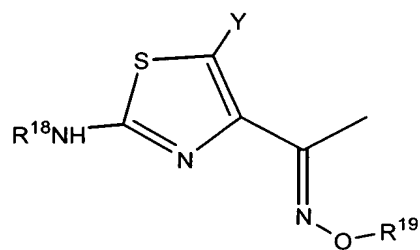




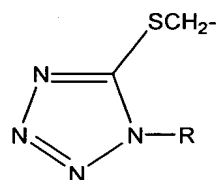
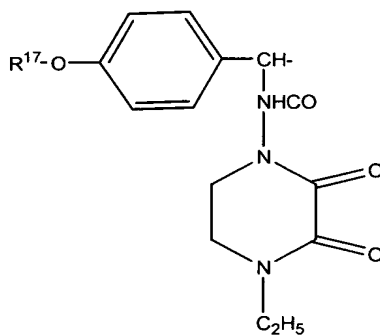
(xvii)



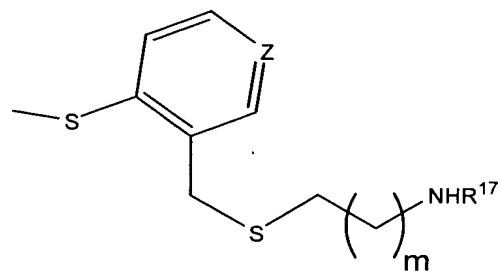
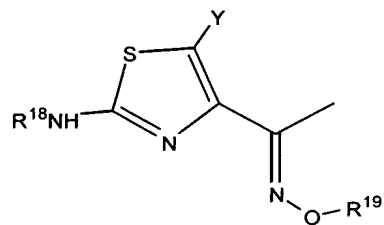
(xviii)



(xix)

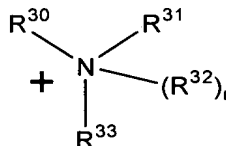
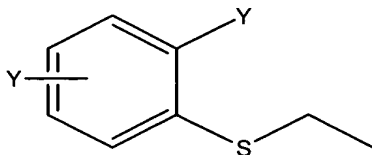


(xx)



*By  
 M. J. O'Neil*

(xi)



wherein:

R is alkyl;

R<sup>17</sup> is a covalent bond that links the L' moiety to the linker;

R<sup>18</sup> and R<sup>19</sup> are hydrogen or alkyl;

R<sup>30</sup> and R<sup>31</sup> are, independently of each other, hydrogen or alkyl; or together with the nitrogen atom to which they are attached form a heterocycloamino group;

R<sup>32</sup> is alkyl;

R<sup>33</sup> is alkylene;

X is halo;

Y is hydrogen or halo;

Z is CH or N;

m is an integer from 1 to 5;

n is 0 or 1;

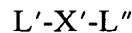
and further wherein one of R<sup>18</sup>, R<sup>19</sup>, R<sup>30</sup>, R<sup>31</sup>, R<sup>32</sup> and R<sup>33</sup> is a covalent bond that links the L' moiety to the linker.

Please amend Claim 49 as follows:

49. (Amended) The compound according to Claim 41 wherein L'' is a vancomycin moiety which is attached to the linker at the saccharide amino group of the vancomycin moiety.

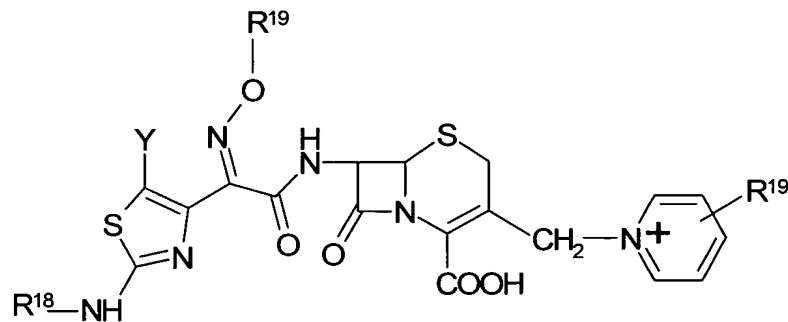
Please amend Claim 53 as follows:

53. (Amended) A compound of the formula:



or a pharmaceutically acceptable salt thereof; wherein

L' is a moiety of the formula:



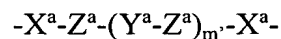
wherein

Y is selected from the group consisting of hydrogen and halogen;

R<sup>18</sup> and R<sup>19</sup> are selected from the group consisting of hydrogen or alkyl provided that one of R<sup>18</sup> and R<sup>19</sup> is a covalent bond which links the L' moiety to the linker; and

L'' is a vancomycin moiety, wherein L'' is attached to the linker at a position selected from the group consisting of the carboxy terminus, the amino terminus, the dihydroxyphenyl ring and the saccharide amino group of the vancomycin moiety; and

X' is a linker of the formula:



wherein

$m'$  is an integer of from 0 to 20;

$X^a$  at each separate occurrence is selected from the group consisting of -O-, -S-, -NR'-, -C(O)-, -C(O)O-, -OC(O)-, -C(O)NR'-, -NR'C(O)-, C(S), -C(S)O-, -C(S)NR'-, -NR'C(S)-, and a covalent bond;

$Z^a$  at each separate occurrence is selected from the group consisting of alkylene, substituted alkylene, cycloalkylene, substituted cycloalkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, and a covalent bond;

each  $Y^a$  at each separate occurrence is selected from the group consisting of -O-, -C(O)-, -OC(O)-, -C(O)O-, -NR'-, -S(O) $n$ -, -C(O)NR'-, -NR'C(O)-, -NR'C(O)NR'-, -NR'C(S)NR'-, -C(=NR')-NR'-, -NR'-C(=NR')-, -OC(O)-NR'-, -NR'-C(O)-O-, -P(O)(OR')-O-, -O-P(O)(OR')-, -S(O) $n$ CR'R"-, -S(O) $n$ -NR'-, -NR'-S(O) $n$ -, -S-S-, and a covalent bond; where  $n$  is 0, 1 or 2; and

$R'$  and  $R''$  at each separate occurrence are selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, alkenyl, substituted alkenyl, cycloalkenyl, substituted cycloalkenyl, alkynyl, substituted alkynyl, aryl, heteroaryl and heterocyclic.

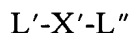
54. (Restated) The compound according to Claim 53, wherein Y is halogen.

Please amend Claim 55 as follows:

55. (Amended) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of any of Claims 41-46, 49-51, 53, 54, 57 or 58.

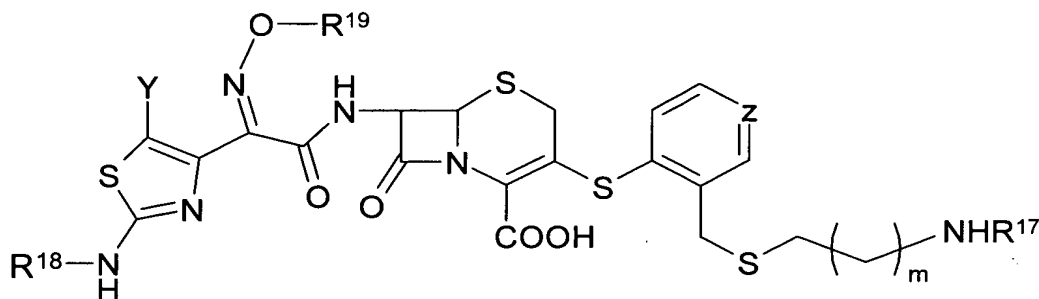
Please add Claims 57 and 58 as follows:

--57. A compound of the formula:



68  
or a pharmaceutically acceptable salt thereof; wherein

L' is a moiety of the formula:



wherein

Y is selected from the group consisting of hydrogen and halogen;

Z is CH or N;

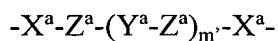
R<sup>17</sup> is a covalent bond that links the L' moiety to the linker;

R<sup>18</sup> and R<sup>19</sup> are selected from the group consisting of hydrogen or alkyl;

m is an integer from 1 to 5;

L'' is a vancomycin moiety, wherein L'' is attached to the linker at a position selected from the group consisting of the carboxy terminus, the amino terminus, the dihydroxyphenyl ring and the vancosamine amino group of the vancomycin moiety; and

X' is a linker of the formula:



wherein

$m'$  is an integer of from 0 to 20;

$X^a$  at each separate occurrence is selected from the group consisting of -O-, -S-, -NR'-, -C(O)-, -C(O)O-, -OC(O)-, -C(O)NR'-, -NR'C(O)-, C(S), -C(S)O-, -C(S)NR'-, -NR'C(S)-, and a covalent bond;

$Z^a$  at each separate occurrence is selected from the group consisting of alkylene, substituted alkylene, cycloalkylene, substituted cycloalkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, and a covalent bond;

each  $Y^a$  at each separate occurrence is selected from the group consisting of -O-, -C(O)-, -OC(O)-, -C(O)O-, -NR'-, -S(O) $_n$ -, -C(O)NR'-, -NR'C(O)-, -NR'C(O)NR'-, -NR'C(S)NR'-, -C(=NR')-NR'-, -NR'-C(=NR')-, -OC(O)-NR'-, -NR'-C(O)-O-, -P(O)(OR')-O-, -O-P(O)(OR')-, -S(O) $_n$ CR'R"-, -S(O) $_n$ -NR'-, -NR'-S(O) $_n$ -, -S-S-, and a covalent bond; where  $n$  is 0, 1 or 2; and

$R'$  and  $R''$  at each separate occurrence are selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, alkenyl, substituted alkenyl, cycloalkenyl, substituted cycloalkenyl, alkynyl, substituted alkynyl, aryl, heteroaryl and heterocyclic.

58. The compound according to Claim 57, wherein Y is halogen.--

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